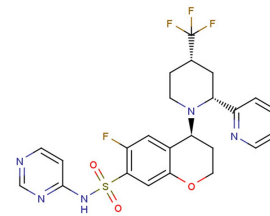


GNE-616

Chemical Properties

CAS No.:	2349371-81-7
Formula:	C ₂₄ H ₂₃ F ₄ N ₅ O ₃ S
Molecular Weight:	537.53
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).

**Biological Description**

Description	GNE-616 is a highly potent, metabolically stable, orally bioavailable, and subtype-selective Nav1.7 inhibitor (K _i : 0.79 nM, K _d : 0.38 nM for hNav1.7) for the treatment of chronic pain.
Targets(IC ₅₀)	hNav1.7: K _d :0.38 nM hNav1.2: 12 nM (K _i) hNav1.6: 29 nM (K _i)
In vitro	GNE-616 shows >1000 nM K _d and >2500-fold selectivity over hNav1.1, hNav1.3, hNav1.4, and hNav1.5. Selectivity over hNav1.2 and hNav1.6 is more modest at 31- and 73-fold, respectively.
In vivo	GNE-616 shows robust activity in a Nav1.7-dependent inherited erythromelalgia (IEM) PK/PD model.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.86 mL	9.302 mL	18.604 mL
5 mM	0.372 mL	1.86 mL	3.721 mL
10 mM	0.186 mL	0.93 mL	1.86 mL
50 mM	0.037 mL	0.186 mL	0.372 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. McKerrall SJ, et al. Structure- and Ligand-Based Discovery of Chromane Arylsulfonamide Nav1.7 Inhibitors for the Treatment of Chronic Pain. J Med Chem. 2019 Apr 25;62(8):4091-4109.

Inhibitors · Natural Compounds · Compound Libraries

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